

REMARKS

New claims 10-20 are pending in this application for the Examiner's review and consideration. Applicants have amended the specification and claims to conform with U.S. patent practice and to more clearly recite the invention. As no new matter has been added herein, these changes should be entered.

Date

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Respectfully submitted,

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Appendix B
Changes to the Specification

The paragraph at page 1, line 4 is revised as follows:

The paragraph at page 1, line 1 is revised as follows:

--TECHNICAL FIELD

The present invention relates to a process for the preparation of taxanes from 10-deacetylbaaccatin III.--

The paragraph at page 1, line 3 is revised as follows:

--BACKGROUND OF THE INVENTION

Paclitaxel is a known antitumor drug with taxan structure, whose industrial preparation is particularly complex...--

The paragraph at page 2, line 30 is revised as follows:

--SUMMARY OF THE INVENTION

It has now been found a process for the preparation of taxanes, in particular Paclitaxel and Docetaxel, which attains a higher yield than known methods.--

The paragraph at page 5, line 1 is revised as follows:

--DETAILED DESCRIPTION OF THE PREFERRED EMBODIMENTS

The process of the invention differs from those of the prior art in that the reaction sequence used provides a simpler route than those processes cited above and a remarkable improvement in the obtained yields.--

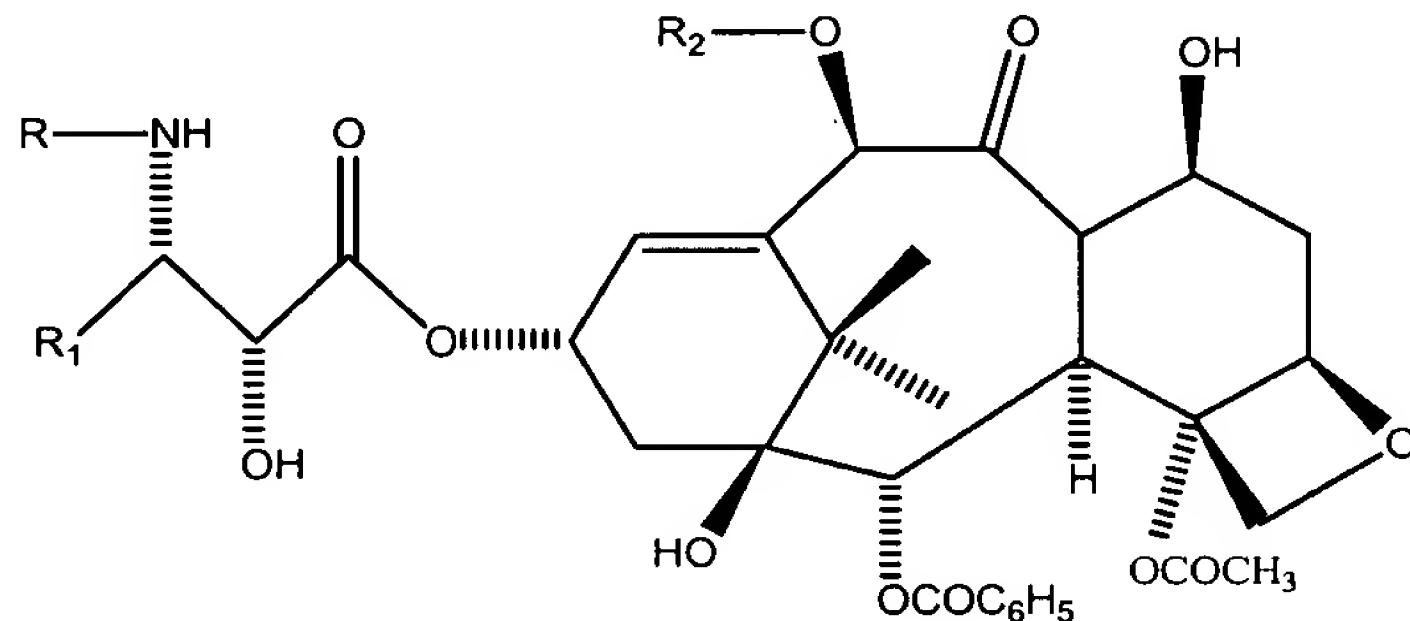
The paragraph at page 10, line 1 is revised as follows:

--CLAIMS

What is claimed is:--

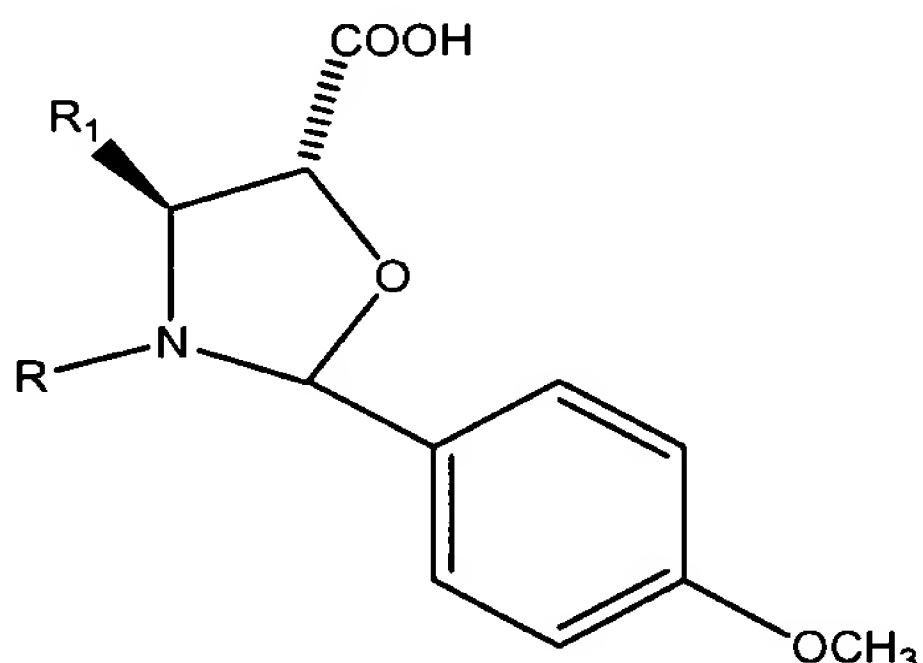
Appendix C
Currently Pending Claims

10. (New) A process for preparing a compound of formula I



wherein R is a *tert*-butoxycarbonyl, benzoyl, or straight or branched chain alkyl carbonyl group; R₁ is a phenyl or a straight or branched alkyl or alkenyl group; and R₂ is hydrogen or an acetyl group comprising

- (a) simultaneously protecting the C-7 and C-10 hydroxyl groups of 10-deacetylbaicatin III with trichloroacetyl groups to provide a protected 10-deacetylbaicatin III,
- (b) esterifying the C-13 hydroxyl group of the protected 10-deacetylbaicatin III with an oxazolidine 5-carboxylic acid of formula II



wherein R is a *tert*-butoxycarbonyl, benzoyl, or straight or branched chain alkyl carbonyl group; R₁ is a phenyl or a straight or branched alkyl or alkenyl group to provide a protected C-13 esterified 10-deacetylbaicatin III having an oxazolidine ring at the C-13 position;

- (c) removing the trichloroacetyl groups from the protected C-13 esterified 10-deacetyl baccatin III to provide a C-13 esterified 10-deacetyl baccatin III;
- (d) optionally acetyating the C-10 hydroxyl group of the C-13 esterified 10-deacetyl baccatin III to provide a C-13 esterified baccatinn III; and
- (e) hydrolyzing the oxazolidine ring of the protected C-13 esterified 10-deacetyl baccatin III or the C-13 esterified baccatinn III in the presence of an acid to provide the compound of formula I.

11. (New) The process of claim 10, wherein step (b) is carried out in the presence of a condensing agent and a base.

12. (New) The process of claim 11, wherein the condensing agent is dicyclohexyl carbodiimide.

13. (New) The process of claim 12, wherein the base is pyridine.

14. (New) The process of claim 10, wherein step (c) is carried out using NH₄OH/NH₄Cl in an aliphatic solvent.

15. (New) The process of claim 10, wherein step (d) is carried out by reacting the C-13 esterified 10-deacetyl baccatin III with acetic anhydride in the presence of a cerium III, scandium, or ytterbium salt.

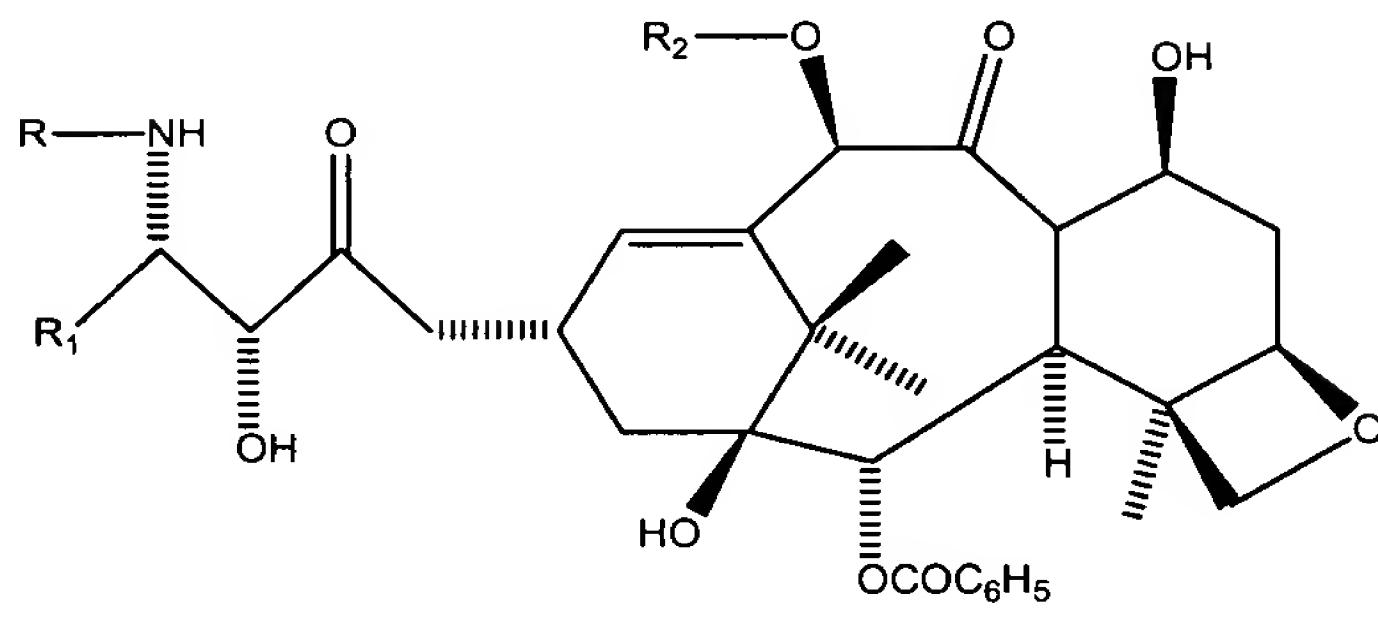
16. (New) The process of claim 10, wherein step (e) is carried out by reacting the protected C-13 esterified 10-deacetyl baccatin III or the C-13 esterified baccatinn III with an organic acid or inorganic acid in an aliphatic alcohol or tetrahydrofuran.

17. (New) The process of claim 16, wherein the acid is formic acid.

18. (New) The process of claim 1, wherein R is a benzoyl group, R₁ is a phenyl group, and R₂ is an acetyl group.

19. (New) The process of claim 1, wherein R is *tert*-butoxycarbonyl group, R₁ is a phenyl group, and R₂ is a hydrogen.

20. (New) A compound of Formula (IV)



(IV)

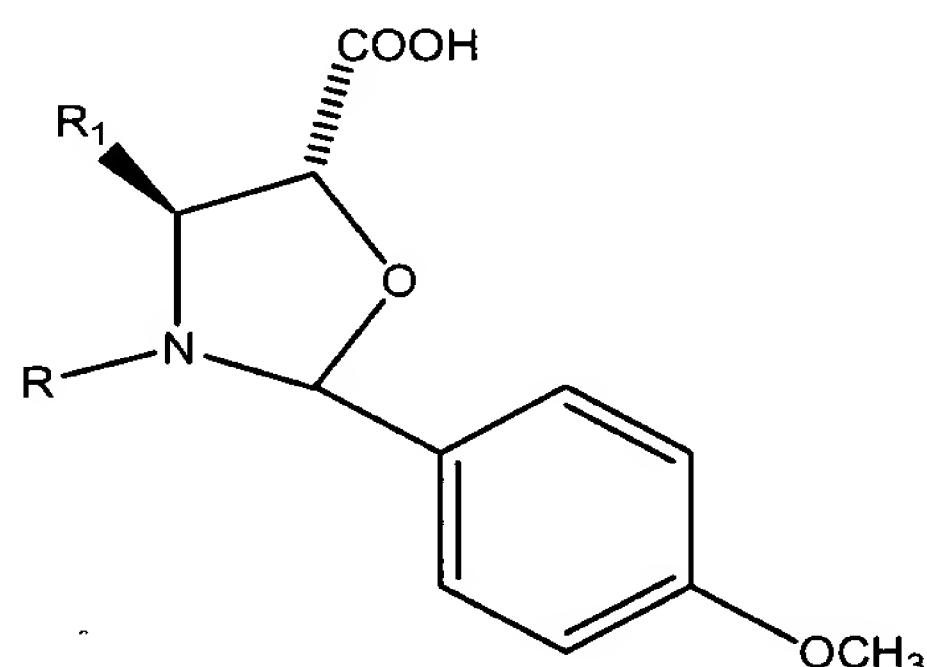
wherein R is a *tert*-butoxycarbonyl, benzoyl, or straight or branched chain alkyl carbonyl group; R₁ is a phenyl or a straight or branched alkyl or alkenyl group; and R₂ is hydrogen or an acetyl group.

Appendix A

Changes to the Abstract

Please add the following abstract:

---A process for the preparation of taxane derivatives by reacting 10-deacetylbaaccatin III protected at the 7- and 10- positions with trichloroacetyl groups with a compound of formula



and subsequent removal of the protective groups and hydrolysis of the oxazolidine ring.--